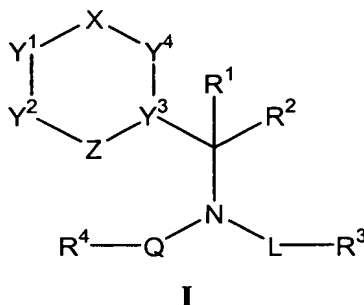


WHAT IS CLAIMED IS:

1. A compound having the formula (I):



wherein

X is a member selected from the group consisting of a bond, -C(O)-, -C(R⁵)(R⁶)-, -C(R⁵)=, -S(O)-, -S(O)₂- and -N=;

Z is a member selected from the group consisting of a bond, -N=, -O-, -S-, -N(R¹⁷)- and -C(R⁷)=, with the proviso that X and Z are not both a bond;

L is a member selected from the group consisting of a bond, C(O)-(C₁-C₈)alkylene, (C₁-C₈)alkylene and (C₂-C₈)heteroalkylene;

Q is a member selected from the group consisting of a bond, (C₁-C₈)alkylene, (C₂-C₈)heteroalkylene, -C(O)-, -OC(O)-, N(R⁸)C(O)-, -CH₂CO-, -CH₂SO- and -CH₂SO₂-;

optionally L and Q can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 3 heteroatoms;

R¹ and R² are members independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl and heteroaryl, or optionally are combined to form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

optionally R² and L can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 4 heteroatoms;

R³ is a member selected from the group consisting of hydroxy, (C₁-C₈)alkoxy, amino, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-C₈)heteroalkyl, (C₃-C₉)heterocyclyl, (C₁-C₈)acylamino, amidino, guanidino, ureido, cyano, heteroaryl, -CONR⁹R¹⁰ and -CO₂R¹¹;

R⁴ is a member selected from the group consisting of (C₁-C₂₀)alkyl, (C₂-C₂₀)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₆)heteroalkyl, aryl(C₁-C₆)alkyl and aryl(C₂-C₆)heteroalkyl;

R⁵ and R⁶ are each members independently selected from the group

29 consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally R⁵
30 and R⁶ are combined to form a 3- to 7-membered ring;
31 R⁷ and R⁸ are each members independently selected from the group
32 consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl,
33 each R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting
34 of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl,
35 heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;
36 Y¹ and Y² are each members independently selected from the group
37 consisting of -C(R¹²)=, -N=, -O-, -S- and -N(R¹³)-;
38 Y³ is a member selected from the group consisting of N and C wherein the
39 carbon atom shares a double bond with either Z or Y⁴; and
40 Y⁴ is a member selected from the group consisting of -N(R¹⁴)-, -C(R¹⁴)=,
41 -N= and -N(R¹⁴)-C(R¹⁵)(R¹⁶)-, wherein
42 each R¹² is a member independently selected from the group consisting of
43 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
44 heteroaryl and aryl, or optionally when Y¹ and Y² are both -C(R¹²)= the two R¹² groups
45 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,
46 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y¹ is -C(R¹²)= and X is -
47 C(R⁵)= or -C(R⁵)(R⁶)-, R¹² and R⁵ can be combined to form a substituted or unsubstituted
48 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;
49 R¹³ is a member selected from the group consisting of H, (C₁-C₈)alkyl,
50 (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,
51 aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;
52 R¹⁴ is a member selected from the group consisting of (C₁-C₈)alkyl, (C₂-
53 C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₂-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl,
54 heteroaryl(C₂-C₈)heteroalkyl, heteroaryl and aryl;
55 R¹⁵ and R¹⁶ are each members independently selected from the group
56 consisting of H, (C₁-C₈)alkyl and (C₂-C₈)heteroalkyl; and
57 R¹⁷ is a member selected from the group consisting of H, (C₁-C₈)alkyl,
58 (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,
59 aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl, or optionally when Y² is -C(R¹²)= or -
60 N(R¹³)-, R¹⁷ can be combined with R¹² or R¹³ to form a substituted or unsubstituted 5- to
61 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;
62 with the proviso that when the Y³-containing ring system is a

63 quinazolinone or quinolinone ring system, and R⁴-Q- is substituted or unsubstituted (C₅-
64 C₁₅)alkyl, then R³-L- is other than substituted or unsubstituted (C₂-C₈)alkylene or a
65 substituted or unsubstituted (C₂-C₈)heteroalkylene attached to -NR'R'', wherein R' and
66 R'' are independently selected from the group consisting of hydrogen and (C₁-C₈)alkyl, or
67 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-
68 or 7-membered ring.

1 2. A compound of Claim 1, wherein Y⁴ is -N(R¹⁴)- wherein R¹⁴ is
2 selected from the group consisting of aryl and heteroaryl.

1 3. A compound of Claim 1, wherein X is -C(O)-

1 4. A compound of Claim 1, wherein Z is -N=.

1 5. A compound of Claim 1, wherein Y¹ and Y² are each -C(R¹²)=
2 wherein the two R¹² groups are combined to form a fused 6-membered aryl or heteroaryl
3 ring.

1 6. A compound of Claim 1, wherein X is -C(O)-; Z is -N=; Y³ is C; and
2 Y¹ and Y² are each -C(R¹²)=.

1 7. A compound of Claim 6, wherein the two R¹² groups are combined to
2 form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 8. A compound of Claim 6, wherein Y⁴ is -N(R¹⁴)-.

1 9. A compound of Claim 6, wherein Y⁴ is -C(R¹⁴)=.

1 10. A compound of Claim 7, wherein Y⁴ is -N(R¹⁴)-.

1 11. A compound of Claim 7, wherein Y⁴ is -C(R¹⁴)=.

1 12. A compound of Claim 1, wherein L is (C₁-C₈)alkylene.

1 13. A compound of Claim 1, wherein Q is -C(O)-.

1 14. A compound of Claim 1, wherein R⁴ is selected from the group
2 consisting of (C₅-C₁₅)alkyl, substituted or unsubstituted phenyl and biphenyl.

1 15. A compound of Claim 1, wherein R³ is selected from the group
2 consisting of (C₁-C₈)alkoxy, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-
3 C₈)heteroalkyl, (C₃-C₉)heterocyclyl, (C₁-C₈)acylamino, cyano, heteroaryl, -CONR⁹R¹⁰
4 and -CO₂R¹¹.

1 16. A compound of Claim 1, wherein R¹ and R² are independently selected
2 from the group consisting of H and (C₁-C₄)alkyl.

1 17. A compound of Claim 1, wherein Y³ is C and the carbon atom shares a
2 double bond with Z.

1 18. A compound of Claim 1, wherein X is -C(R⁵)(R⁶)-; Y⁴ is -N(R¹⁴)-,
2 wherein R¹⁴ is substituted or unsubstituted aryl or heteroaryl; Y³ is C; Z is -N=; and Y¹
3 and Y² are each -C(R¹²)=.

1 19. A compound of Claim 18, wherein X is -CH₂- and the R¹² groups are
2 combined to form a substituted or unsubstituted aryl or heteroaryl ring.

1 20. A compound of Claim 1, wherein X is -C(R⁵)=; Y⁴ is -C(R¹⁴)=,
2 wherein R¹⁴ is substituted or unsubstituted aryl or heteroaryl; Y³ is C; Z is -N=; and Y¹
3 and Y² are each -C(R¹²)=.

1 21. A compound of Claim 20, wherein R¹ is H.

1 22. A compound of Claim 1, wherein X is a bond; Y⁴ is -N(R¹⁴)-, wherein
2 R¹⁴ is substituted or unsubstituted aryl or heteroaryl; Y³ is C; Z is -N=; and Y¹ and Y² are
3 each -C(R¹²)=.

1 23. A compound of Claim 22, wherein the R¹² groups are combined to
2 form a substituted or unsubstituted aryl or heteroaryl ring.

1 24. A compound of Claim 22, wherein R¹ is H.

1 25. A compound of Claim 1, wherein X is -C(R⁵)=; Y⁴ is -C(R¹⁴)=,
2 wherein R¹⁴ is substituted or unsubstituted aryl or heteroaryl; Y³ is C; Z is -C(R⁷)=; and
3 Y¹ and Y² are each -C(R¹²)=.

1 26. A compound of Claim 25, wherein R^5 and R^{12} are combined to form a
2 5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 27. A compound of Claim 25, wherein R^1 is H.

1 28. A compound of Claim 1, wherein X is a bond; Z is $-N=$ or $-N(R^{17})-$;
2 Y^4 is $-C(R^{14})=$, wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^1 is
3 selected from the group consisting of $-O-$, $-S-$ and $-N(R^{13})-$; and Y^2 is $-C(R^{12})=$.

1 29. A compound of Claim 28, wherein Y^1 is $-O-$ and Z is $-N=$.

1 30. A compound of Claim 28, wherein Y^1 is $-S-$ and Z is $-N=$.

1 31. A compound of Claim 28, wherein Y^1 is $-N(R^{13})-$ and Z is $-N=$.

1 32. A compound of Claim 1, wherein X is $-SO_2-$; Y^4 is $-N(R^{14})=$, wherein
2 R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^3 is C; Z is $-N=$ or $-C(R^7)=$; and Y^1
3 and Y^2 are each $-C(R^{12})=$.

1 33. A compound of Claim 32, wherein R^1 is H.

1 34. A compound of Claim 1, wherein X is a bond; Z is $-O-$, $-S-$ or
2 $-N(R^{17})-$; Y^1 is $-N=$ or $-N(R^{13})-$; Y^2 is $-C(R^{12})=$; and Y^4 is $-C(R^{14})=$ wherein R^{14} is
3 substituted or unsubstituted aryl or heteroaryl.

1 35. A compound of Claim 34, wherein Y^1 is $-N=$ and Z is $-O-$.

1 36. A compound of Claim 34, wherein Y^1 is $-N=$ and Z is $-S-$.

1 37. A compound of Claim 34, wherein Z is $-N(R^{17})-$.

1 38. A compound of Claim 34, wherein R^1 is H.

1 39. A compound of Claim 1, wherein X is a bond; Y^1 is $-N(R^{13})-$ or $=N-$;
2 Y^2 is $-C(R^{12})=$; Y^3 is C; Y^4 is $-C(R^{14})=$ wherein R^{14} is substituted or unsubstituted aryl or
3 heteroaryl; and Z is $-N(R^{17})-$ or $=N-$, with the proviso that Y^1 and Z are not both $=N-$.

1 40. A compound of Claim 1, wherein X is a bond; Y^1 and Y^2 are each
2 independently $-C(R^{12})=$; Y^3 is C; Y^4 is $-C(R^{14})=$ wherein R^{14} is substituted or

3 unsubstituted aryl or heteroaryl; and Z is $-N(R^{17})-$, O or S.

1 41. A compound of Claim 40, wherein the two R^{12} groups are combined to
2 form a fused 5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 42. A compound of Claim 1, wherein X is $-C(O)-$; Y^1 is $-N(R^{13})-$; Y^2 is
2 $-N=$; Y^3 is C; Y^4 is $-N(R^{14})-$ wherein R^{14} is substituted or unsubstituted aryl or heteroaryl;
3 and Z is a bond.

1 43. A compound of Claim 42, wherein R^1 is H.

1 44. A compound of Claim 1, wherein X is $-C(O)-$; Z is $-N(R^{17})-$ wherein
2 R^{17} is substituted or unsubstituted aryl or heteroaryl; Y^1 and Y^2 are each independently
3 $-C(R^{12})=$; Y^3 is C; and Y^4 is $-N=$. *a*

1 45. A compound of Claim 44, wherein R^1 is H.

1 46. A compound of Claim 1, wherein X and Z are $-N=$, Y^1 and Y^2 are each
2 independently $-C(R^{12})=$; Y^3 is C; and Y^4 is $-C(R^{14})=$ wherein R^{14} is a substituted or
3 unsubstituted aryl or heteroaryl group.

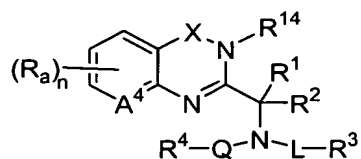
1 47. A compound of Claim 46, wherein R^1 is H.

1 48. A compound of Claim 1, wherein X is $-C(O)-$; Y^4 is
2 $-N(R^{14})-C(R^5)(R^6)-$; wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^1 and
3 Y^2 are each independently $-C(R^{12})=$; Y^3 is C; and Z is $-N=$.

1 49. A compound of Claim 48, wherein R^1 is H.

1 50. A compound of Claim 1, wherein the Y^3 -containing ring system is
2 selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone,
3 quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole,
4 pyridine, pyrazine and benzodiazepine.

1 51. A compound of Claim 1, having the formula (III):



2
3
4 wherein

5 A⁴ is C or N;

6 X is -CO-, -CH₂- or a bond;

7 R¹ and R² are each members independently selected from the group consisting of
8 H and (C₁-C₄)alkyl;

9 R¹⁴ is a substituted or unsubstituted member selected from the group consisting of
10 phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

11 Q is -CO-;

12 L is (C₁-C₈)alkylene;

13 the subscript n is an integer of from 0 to 4; and

14 each R_a is independently selected from the group consisting of halogen, -OR',
15 -OC(O)R', -NR'R'', -SR', -R', -CN, -NO₂, -CO₂R', -CONR'R'', -C(O)R',
16 -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)₂R', -NR'-C(O)NR''R''',
17 -NH-C(NH₂)=NH, -NR'C(NH₂)=NH, -NH-C(NH₂)=NR', -S(O)R', -
18 S(O)₂R', -S(O)₂NR'R'', -N₃, -CH(Ph)₂, perfluoro(C₁-C₄)alkoxy, and
19 perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each independently
20 selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
21 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-
22 C₄)alkyl, and (unsubstituted aryl)oxy-(C₁-C₄)alkyl.

1 52. A compound of Claim 51, wherein X is -C(O)-.

1 53. A compound of Claim 51, wherein X is -CH₂-.

1 54. A compound of Claim 51, wherein X is a bond.

1 55. A compound of Claim 51, wherein R⁴ is substituted or unsubstituted
2 benzyl, wherein said substituents are selected from the group consisting of halogen,
3 halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl.

1 56. A compound of Claim 51, wherein R^{14} is selected from the group
2 consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted
3 thienyl, wherein the substituents are selected from the group consisting of cyano, halogen,
4 (C_1-C_8) alkoxy, (C_1-C_8) alkyl, (C_2-C_8) heteroalkyl, $CONH_2$, methylenedioxy and
5 ethylenedioxy.

1 57. A compound of Claim 51, wherein R^{14} is substituted phenyl, wherein
2 the substituents are selected from the group consisting of cyano, halogen, (C_1-C_8) alkoxy,
3 (C_1-C_8) alkyl, (C_2-C_8) heteroalkyl, $CONH_2$, methylenedioxy and ethylenedioxy.

1 58. A compound of Claim 51, wherein R^4 is substituted or unsubstituted
2 benzyl, wherein said substituents are selected from the group consisting of halogen,
3 halo (C_1-C_4) alkyl, halo (C_1-C_4) alkoxy, cyano, nitro and phenyl, and R^{14} is substituted
4 phenyl, wherein the substituents are selected from the group consisting of cyano, halogen,
5 (C_1-C_8) alkoxy, (C_1-C_8) alkyl, (C_2-C_8) heteroalkyl, $CONH_2$, methylenedioxy and
6 ethylenedioxy.

1 59. A compound of Claim 51, wherein R^1 is selected from the group
2 consisting of methyl, ethyl and propyl, and R^2 is hydrogen.

1 60. A compound of Claim 51, wherein R^1 and R^2 are each methyl.

1 61. A compound of Claim 51, wherein R^3 is selected from the group
2 consisting of (C_1-C_8) alkoxy, amino, (C_1-C_8) alkylamino, di (C_1-C_8) alkylamino, $(C_2-$
3 $C_8)$ heteroalkyl, (C_3-C_9) heterocyclyl and heteroaryl.

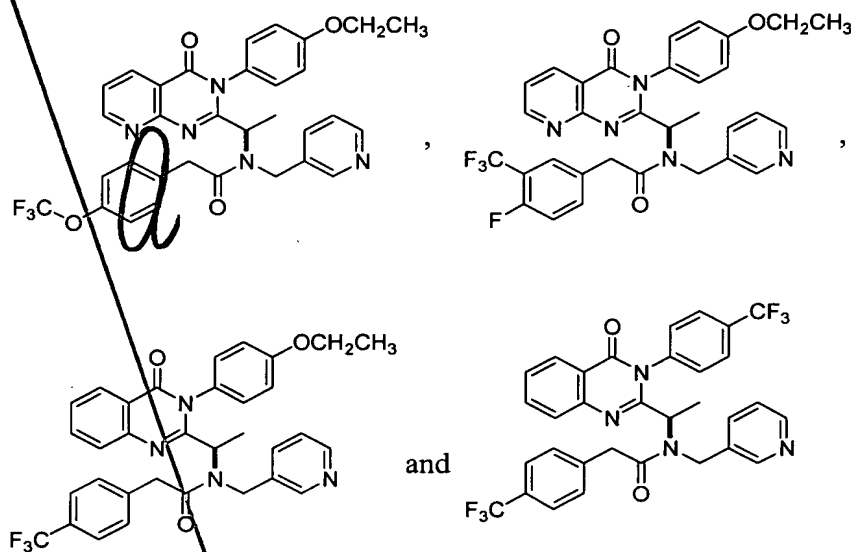
1 62. A compound of Claim 51, wherein R^3 is selected from the group
2 consisting of substituted or unsubstituted pyridyl and substituted or unsubstituted
3 imidazolyl.

1 63. A compound of Claim 51, wherein L is (C_1-C_4) alkylene.

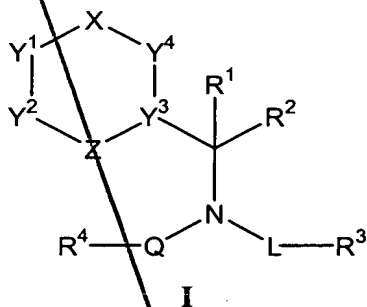
1 64. A compound of Claim 51, wherein X is $-CO-$; R^1 and R^2 are each
2 independently selected from the group consisting of H, methyl and ethyl; R^{14} is phenyl; ;
3 L is methylene, ethylene or propylene, R^3 is selected from the group consisting of
4 substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R^4 is
5 substituted or unsubstituted benzyl, wherein said substituents are selected from the group

6 consisting of halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl; and
 7 each R_a is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR',
 8 -R', -CN, -NO₂, -CO₂R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R''',
 9 perfluoro(C₁-C₄)alkoxy, and perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each
 10 independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
 11 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-C₄)alkyl, and
 12 (unsubstituted aryl)oxy-(C₁-C₄)alkyl.

1 **65.** A compound of Claim 51, wherein said compound is selected from the
 2 group consisting of:



1 **66.** A pharmaceutical composition comprising a pharmaceutically
 2 acceptable carrier or excipient and a compound having the formula (I):



5 wherein

6 X is a member selected from the group consisting of a bond, -C(O)-,
 7 -C(R⁵)(R⁶)-, -C(R⁵)=, -S(O)-, -S(O)₂- and -N≡;

8 Z is a member selected from the group consisting of a bond, -N=, -O-, -S-,
9 -N(R¹⁷)- and -C(R⁷)=, with the proviso that X and Z are not both a bond;

10 L is a member selected from the group consisting of a bond, C(O)-(C₁-
11 C₈)alkylene, (C₁-C₈)alkylene and (C₂-C₈)heteroalkylene;

12 Q is a member selected from the group consisting of a bond, (C₁-
13 C₈)alkylene, (C₂-C₈)heteroalkylene, -C(O)-, -OC(O)-, -N(R⁸)C(O)-, -CH₂CO-, -CH₂SO-
14 and -CH₂SO₂-;

15 optionally L and Q can be linked together to form a 5- or 6-membered
16 heterocyclic group having from 1 to 3 heteroatoms;

17 R¹ and R² are members independently selected from the group consisting
18 of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl and heteroaryl, or optionally are combined to
19 form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

20 optionally R² and L can be linked together to form a 5- or 6-membered
21 heterocyclic group having from 1 to 4 heteroatoms;

22 R³ is a member selected from the group consisting of hydroxy, (C₁-
23 C₈)alkoxy, amino, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-C₈)heteroalkyl, (C₃-
24 C₉)heterocyclyl, (C₁-C₈)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,
25 -CONR⁹R¹⁰ and -CO₂R¹¹;

26 R⁴ is a member selected from the group consisting of (C₁-C₂₀)alkyl, (C₂-
27 C₂₀)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₆)heteroalkyl,
28 aryl(C₁-C₆)alkyl and aryl(C₂-C₆)heteroalkyl;

29 R⁵ and R⁶ are each members independently selected from the group
30 consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally R⁵
31 and R⁶ are combined to form a 3- to 7-membered ring;

32 R⁷ and R⁸ are each members independently selected from the group
33 consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl,

34 each R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting
35 of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl,
36 heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

37 Y¹ and Y² are each members independently selected from the group
38 consisting of -C(R¹²)=, -N=, -O-, -S- and -N(R¹³)-;

39 Y³ is a member selected from the group consisting of N and C wherein the
40 carbon atom shares a double bond with either Z or Y⁴; and

41 Y⁴ is a member selected from the group consisting of -N(R¹⁴)-, -C(R¹⁴)=,

42 ~~-N= and -N(R¹⁴)-C(R¹⁵)(R¹⁶)-, wherein~~

43 ~~each R¹² is a member independently selected from the group consisting of~~
44 ~~H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,~~
45 ~~heteroaryl and aryl, or optionally when Y¹ and Y² are both -C(R¹²)= the two R¹² groups~~
46 ~~can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,~~
47 ~~heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y¹ is -C(R¹²)= and X is -~~
48 ~~C(R⁵)= or -C(R⁵)(R⁶)-, R¹² and R⁵ can be combined to form a substituted or unsubstituted~~
49 ~~5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;~~

50 ~~R¹³ is a member selected from the group consisting of H, (C₁-C₈)alkyl,~~
51 ~~(C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,~~
52 ~~aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;~~

53 ~~R¹⁴ is a member selected from the group consisting of (C₁-C₈)alkyl, (C₂-~~
54 ~~C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₂-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl,~~
55 ~~heteroaryl(C₂-C₈)heteroalkyl, heteroaryl and aryl;~~

56 ~~R¹⁵ and R¹⁶ are each members independently selected from the group~~
57 ~~consisting of H, (C₁-C₈)alkyl and (C₂-C₈)heteroalkyl; and~~

58 ~~R¹⁷ is a member selected from the group consisting of H, (C₁-C₈)alkyl,~~
59 ~~(C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,~~
60 ~~aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl, or optionally when Y² is -C(R¹²)= or -~~
61 ~~N(R¹³)-, R¹⁷ can be combined with R¹² or R¹³ to form a substituted or unsubstituted 5- to~~
62 ~~6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;~~

63 ~~with the proviso that when the Y³-containing ring system is a~~
64 ~~quinazolinone or quinolinone ring system, and R⁴-Q- is substituted or unsubstituted (C₅-~~
65 ~~C₁₅)alkyl, then R³-L- is other than substituted or unsubstituted (C₂-C₈)alkylene or a~~
66 ~~substituted or unsubstituted (C₂-C₈)heteroalkylene attached to -NR'R'', wherein R' and~~
67 ~~R'' are independently selected from the group consisting of hydrogen and (C₁-C₈)alkyl, or~~
68 ~~optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-~~
69 ~~or 7-membered ring.~~

1 ~~67. A composition of Claim 66, wherein Y⁴ is -N(R¹⁴)- wherein R¹⁴ is~~
2 ~~selected from the group consisting of aryl and heteroaryl.~~

1 ~~68. A composition of Claim 66, wherein X is -C(O)-.~~

1 ~~69. A composition of Claim 66, wherein Z is -N=.~~

1 70. A composition of Claim 66, wherein Y^1 and Y^2 are each $-C(R^{12})=$
2 wherein the two R^{12} groups are combined to form a fused 6-membered aryl or heteroaryl
3 ring.

1 71. A composition of Claim 66, wherein X is $-C(O)-$; Z is $-N=$; Y^3 is C;
2 and Y^1 and Y^2 are each $-C(R^{12})=$ wherein the two R^{12} groups are combined to form a
3 fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 72. A composition of Claim 66, wherein L is (C_1-C_8) alkylene.

1 73. A composition of Claim 66, wherein Q is $-C(O)-$.

1 74. A composition of Claim 66, wherein R^4 is selected from the group
2 consisting of (C_5-C_{15}) alkyl, substituted or unsubstituted phenyl and biphenyl.

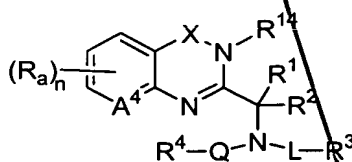
1 75. A composition of Claim 66, wherein R^3 is selected from the group
2 consisting of (C_1-C_8) alkoxy, (C_1-C_8) alkylamino, di (C_1-C_8) alkylamino, $(C_2-$
3 $C_8)$ heteroalkyl, (C_3-C_9) heterocyclyl, (C_1-C_8) acylamino, cyano, heteroaryl, $-CONR^9R^{10}$
4 and $-CO_2R^{11}$.

1 76. A composition of Claim 66, wherein R^1 and R^2 are independently
2 selected from the group consisting of H and (C_1-C_4) alkyl.

1 77. A composition of Claim 66, wherein Y^3 is C and the carbon atom
2 shares a double bond with Z.

1 78. A composition of Claim 66, wherein the Y^3 -containing ring system is
2 selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone,
3 quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole,
4 pyridine, pyrazine and benzodiazepine.

1 79. A composition of Claim 66, wherein the compound has the formula
2 (III):



III

wherein

A⁴ is C or N;

X is -CO-, -CH₂- or a bond;

R¹ and R² are each members independently selected from the group consisting of H and (C₁-C₄)alkyl;

R¹⁴ is a substituted or unsubstituted member selected from the group consisting of phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

Q is -CO-;

L is (C₁-C₈)alkylene;

the subscript n is an integer of from 0 to 4; and

each R_a is independently selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR', -R', -CN, -NO₂, -CO₂R', -CONR'R'', -C(O)R', -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)₂R', -NR'-C(O)NR''R''', -NH-C(NH₂)=NH, -NR'C(NH₂)=NH, -NH-C(NH₂)=NR', -S(O)R', -S(O)₂R', -S(O)₂NR'R'', -N₃, -CH(Ph)₂, perfluoro(C₁-C₄)alkoxy, and perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each independently selected from the group consisting of, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-C₄)alkyl, and (unsubstituted aryl)oxy-(C₁-C₄)alkyl.

80. A composition in accordance with Claim 79, wherein X is -C(O)-.

81. A composition in accordance with Claim 79, wherein X is -CH₂-.

82. A composition in accordance with Claim 79, wherein X is a bond.

83. A composition in accordance with Claim 79, wherein R⁴ is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl.

84. A composition in accordance with Claim 79, wherein R¹⁴ is selected from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted thienyl, wherein the substituents are selected from the group consisting of cyano, halogen, (C₁-C₈)alkoxy, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, CONH₂, methylenedioxy and ethylenedioxy.

1 85. A composition in accordance with Claim 79, wherein R¹ is selected
2 from the group consisting of methyl, ethyl and propyl, and R² is.

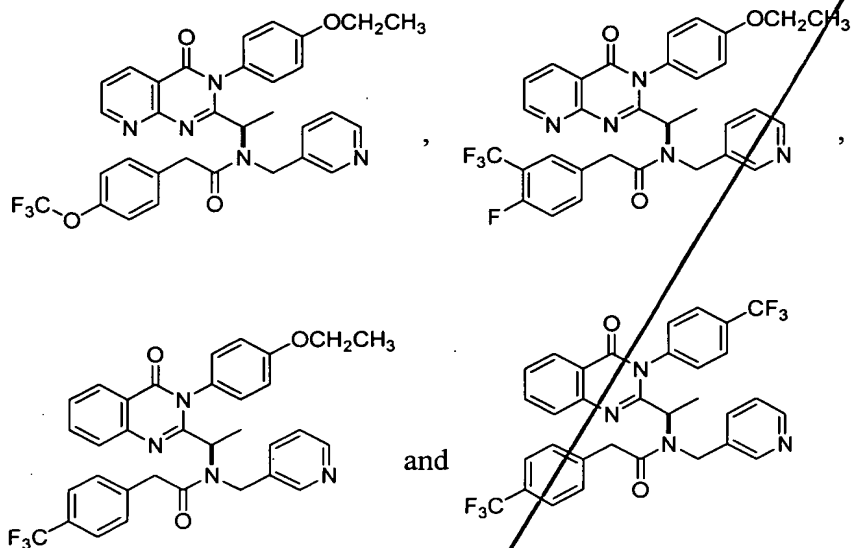
1 86. A composition in accordance with Claim 79, wherein R¹ and R² are
2 each methyl.

1 87. A composition in accordance with Claim 79, wherein R³ is selected
2 from the group consisting of substituted or unsubstituted pyridyl and substituted or
3 unsubstituted imidazolyl.

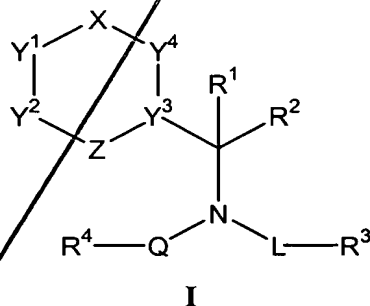
1 88. A composition in accordance with Claim 79, wherein L is (C₁-
2 C₄)alkylene.

1 89. A composition in accordance with Claim 79, wherein X is -CO-; R¹
2 and R² are each independently selected from the group consisting of, methyl and ethyl;
3 R¹⁴ is selected from the group consisting of substituted or unsubstituted phenyl; L is
4 methylene, ethylene or propylene, R³ is selected from the group consisting of substituted
5 or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R⁴ is substituted or
6 unsubstituted benzyl, wherein said substituents are selected from the group consisting of
7 halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl; and each R_a is
8 selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR', -R', -CN,
9 -NO₂, -CO₂R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R''', perfluoro(C₁-
10 C₄)alkoxy, and perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each independently
11 selected from the group consisting of, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, unsubstituted
12 aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-C₄)alkyl, and (unsubstituted
13 aryl)oxy-(C₁-C₄)alkyl.

1 90. The composition of Claim 79, wherein said compound is:



2
1 **91.** A method of treating an inflammatory or immune condition or disease
2 in a subject, said method comprising administering to a subject in need of such treatment
3 a therapeutically effective amount of a compound having the formula (I):



4
5
6 wherein

7 X is a member selected from the group consisting of a bond, -C(O)-,
8 -C(R⁵)(R⁶)-, -C(R⁵)=, -S(O)-, -S(O)₂- and -N=;

9 Z is a member selected from the group consisting of a bond, -N=, -O-, -S-,
10 -N(R¹⁷)- and -C(R⁷)=, with the proviso that X and Z are not both a bond;

11 L is a member selected from the group consisting of a bond, C(O)-(C₁-
12 C₈)alkylene, (C₁-C₈)alkylene and (C₂-C₈)heteroalkylene;

13 Q is a member selected from the group consisting of a bond, (C₁-
14 C₈)alkylene, (C₂-C₈)heteroalkylene, -C(O)-, -OC(O)-, -N(R⁸)C(O)-, -CH₂CO-, -CH₂SO-
15 and -CH₂SO₂-;

16 optionally L and Q can be linked together to form a 5- or 6-membered
17 heterocyclic group having from 1 to 3 heteroatoms;

18 R^1 and R^2 are members independently selected from the group consisting
19 of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl and heteroaryl, or optionally are combined to
20 form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;
21 optionally R^2 and L can be linked together to form a 5- or 6-membered
22 heterocyclic group having from 1 to 4 heteroatoms;
23 R^3 is a member selected from the group consisting of hydroxy, (C₁-
24 C₈)alkoxy, amino, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-C₈)heteroalkyl, (C₃-
25 C₉)heterocyclyl, (C₁-C₈)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,
26 -CONR⁹R¹⁰ and -CO₂R¹¹;
27 R^4 is a member selected from the group consisting of (C₁-C₂₀)alkyl, (C₂-
28 C₂₀)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₆)heteroalkyl,
29 aryl(C₁-C₆)alkyl and aryl(C₂-C₆)heteroalkyl;
30 R^5 and R^6 are each members independently selected from the group
31 consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally R^5
32 and R^6 are combined to form a 3- to 7-membered ring;
33 R^7 and R^8 are each members independently selected from the group
34 consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl,
35 each R^9 , R^{10} and R^{11} is independently selected from the group consisting
36 of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl,
37 heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;
38 Y^1 and Y^2 are each members independently selected from the group
39 consisting of -C(R¹²)=, -N=, -O-, -S- and -N(R¹³)-;
40 Y^3 is a member selected from the group consisting of N and C wherein the
41 carbon atom shares a double bond with either Z or Y^4 ; and
42 Y^4 is a member selected from the group consisting of -N(R¹⁴)-, -C(R¹⁴)=,
43 -N= and -N(R¹⁴)-C(R¹⁵)(R¹⁶)-, wherein
44 each R^{12} is a member independently selected from the group consisting of
45 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
46 heteroaryl and aryl, or optionally when Y^1 and Y^2 are both -C(R¹²)= the two R^{12} groups
47 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,
48 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y^1 is -C(R¹²)= and X is -
49 C(R⁵)= or -C(R⁵)(R⁶)-, R^{12} and R^5 can be combined to form a substituted or unsubstituted
50 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;
51 R^{13} is a member selected from the group consisting of H, (C₁-C₈)alkyl,

52 (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,
53 aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

54 R¹⁴ is a member selected from the group consisting of (C₁-C₈)alkyl, (C₂-
55 C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₂-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl,
56 heteroaryl(C₂-C₈)heteroalkyl, heteroaryl and aryl;

57 R¹⁵ and R¹⁶ are each members independently selected from the group
58 consisting of H, (C₁-C₈)alkyl and (C₂-C₈)heteroalkyl; and

59 R¹⁷ is a member selected from the group consisting of H, (C₁-C₈)alkyl,
60 (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,
61 aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl, or optionally when Y² is -C(R¹²)= or -
62 N(R¹³)-, R¹⁷ can be combined with R¹² or R¹³ to form a substituted or unsubstituted 5- to
63 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

64 with the proviso that when the Y³-containing ring system is a
65 quinazolinone or quinolinone ring system, and R⁴-Q- is substituted or unsubstituted (C₅-
66 C₁₅)alkyl, then R³-L- is other than substituted or unsubstituted (C₂-C₈)alkylene or a
67 substituted or unsubstituted (C₂-C₈)heteroalkylene attached to -NR'R'', wherein R' and
68 R'' are independently selected from the group consisting of hydrogen and (C₁-C₈)alkyl, or
69 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-
70 or 7-membered ring.

1 92. The method of Claim 91, wherein said compound is administered
2 orally, parenterally or topically.

1 93. The method of Claim 91, wherein said compound modulates CXCR3.

1 94. The method of Claim 91, wherein said compound is a CXCR3
2 antagonist.

1 95. The method of Claim 91, wherein said inflammatory or immune
2 condition or disease is selected from the group consisting of neurodegenerative diseases,
3 multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis,
4 encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema,
5 urticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive
6 pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis,
7 Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections,

19 of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl and heteroaryl, or optionally are combined to
20 form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;
21 optionally R² and L can be linked together to form a 5- or 6-membered
22 heterocyclic group having from 1 to 4 heteroatoms;
23 R³ is a member selected from the group consisting of hydroxy, (C₁-
24 C₈)alkoxy, amino, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-C₈)heteroalkyl, (C₃-
25 C₉)heterocyclyl, (C₁-C₈)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,
26 -CONR⁹R¹⁰ and -CO₂R¹¹;
27 R⁴ is a member selected from the group consisting of (C₁-C₂₀)alkyl, (C₂-
28 C₂₀)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₆)heteroalkyl,
29 aryl(C₁-C₆)alkyl and aryl(C₂-C₆)heteroalkyl;
30 R⁵ and R⁶ are each members independently selected from the group
31 consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally R⁵
32 and R⁶ are combined to form a 3- to 7-membered ring;
33 R⁷ and R⁸ are each members independently selected from the group
34 consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl,
35 each R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting
36 of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl,
37 heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;
38 Y¹ and Y² are each members independently selected from the group
39 consisting of -C(R¹²)=, -N=, -O-, -S- and -N(R¹³)-;
40 Y³ is a member selected from the group consisting of N and C wherein the
41 carbon atom shares a double bond with either Z or Y⁴; and
42 Y⁴ is a member selected from the group consisting of -N(R¹⁴)-, -C(R¹⁴)=,
43 -N= and -N(R¹⁴)-C(R¹⁵)(R¹⁶)-, wherein
44 each R¹² is a member independently selected from the group consisting of
45 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
46 heteroaryl and aryl, or optionally when Y¹ and Y² are both -C(R¹²)= the two R¹² groups
47 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,
48 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y¹ is -C(R¹²)= and X is -
49 C(R⁵)= or -C(R⁵)(R⁶)-, R¹² and R⁵ can be combined to form a substituted or unsubstituted
50 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;
51 R¹³ is a member selected from the group consisting of H, (C₁-C₈)alkyl,
52 (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,

53 aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

54 R¹⁴ is a member selected from the group consisting of (C₁-C₈)alkyl, (C₂-
55 C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₂-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl,
56 heteroaryl(C₂-C₈)heteroalkyl, heteroaryl and aryl;

57 R¹⁵ and R¹⁶ are each members independently selected from the group
58 consisting of H, (C₁-C₈)alkyl and (C₂-C₈)heteroalkyl; and

59 R¹⁷ is a member selected from the group consisting of H, (C₁-C₈)alkyl,
60 (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,
61 aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl, or optionally when Y² is -C(R¹²)= or -
62 N(R¹³)-, R¹⁷ can be combined with R¹² or R¹³ to form a substituted or unsubstituted 5- to
63 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

64 with the proviso that when the Y³-containing ring system is a
65 quinazolinone or quinolinone ring system, and R⁴-Q- is substituted or unsubstituted (C₅-
66 C₁₅)alkyl, then R³-L- is other than substituted or unsubstituted (C₂-C₈)alkylene or a
67 substituted or unsubstituted (C₂-C₈)heteroalkylene attached to -NR'R'', wherein R' and
68 R'' are independently selected from the group consisting of hydrogen and (C₁-C₈)alkyl, or
69 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-
70 or 7-membered ring.

1 98. A method in accordance with Claim 97, wherein Y⁴ is -N(R¹⁴)-
2 wherein R¹⁴ is selected from the group consisting of aryl and heteroaryl.

1 99. A method in accordance with Claim 97, wherein X is -C(O)-.

1 100. A method in accordance with Claim 97, wherein Z is -N=.

1 101. A method in accordance with Claim 97, wherein Y¹ and Y² are
2 each -C(R¹²)=, wherein the two R¹² groups are combined to form a fused 6-membered
3 aryl or heteroaryl ring.

1 102. A method in accordance with Claim 97, wherein X is -C(O)-; Z is
2 -N=; Y³ is C; and Y¹ and Y² are each -C(R¹²)= wherein the two R¹² groups are combined
3 to form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 103. A method in accordance with Claim 97, wherein L is (C₁-
2 C₈)alkylene.

1 104. A method in accordance with Claim 97, wherein Q is $-\text{C}(\text{O})-$.

1 105. A method in accordance with Claim 97, wherein R^4 is selected
2 from the group consisting of $(\text{C}_5-\text{C}_{15})$ alkyl, substituted or unsubstituted phenyl and
3 biphenyl.

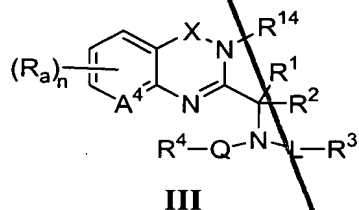
1 106. A method in accordance with Claim 97, wherein R^3 is selected
2 from the group consisting of (C_1-C_8) alkoxy, (C_1-C_8) alkylamino, $\text{di}(\text{C}_1-\text{C}_8)$ alkylamino,
3 (C_2-C_8) heteroalkyl, (C_3-C_9) heterocyclyl, (C_1-C_8) acylamino, cyano, heteroaryl,
4 $-\text{CONR}^9\text{R}^{10}$ and $-\text{CO}_2\text{R}^{11}$.

1 107. A method in accordance with Claim 97, wherein R^1 and R^2 are
2 independently selected from the group consisting of H and (C_1-C_4) alkyl.

1 108. A method in accordance with Claim 97, wherein Y^3 is C and the
2 carbon atom shares a double bond with Z.

1 109. A method in accordance with Claim 97, wherein the Y^3 -containing
2 ring system is selected from the group consisting of quinoline, quinazoline, naphthalene,
3 quinolinone, quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole,
4 imidazole, pyridine, pyrazine and benzodiazepine.

1 110. A method in accordance with Claim 97, wherein said compound
2 has the formula (III):



3
4
5 wherein

6 A^4 is C or N;

7 X is $-\text{CO}-$, $-\text{CH}_2-$ or a bond;

8 R^1 and R^2 are each members independently selected from the group consisting of
9 H and (C_1-C_4) alkyl;

10 R^{14} is a substituted or unsubstituted member selected from the group consisting of
11 phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl.

12 Q is -CO-;
13 L is (C₁-C₈)alkylene;
14 the subscript n is an integer of from 0 to 4; and
15 each R_a is independently selected from the group consisting of halogen, -OR',
16 -OC(O)R', -NR'R'', -SR', -R', -CN, -NO₂, -CO₂R', -CONR'R'', -C(O)R',
17 -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)₂R', -NR'-C(O)NR''R''',
18 -NH-C(NH₂)=NH, -NR'C(NH₂)=NH, -NH-C(NH₂)=NR', -S(O)R', -
19 S(O)₂R', -S(O)₂NR'R'', -N₃, -CH(Ph)₂, perfluoro(C₁-C₄)alkoxy, and
20 perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each independently
21 selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
22 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-
23 C₄)alkyl, and (unsubstituted aryl)oxy-(C₁-C₄)alkyl.

1 111. A method in accordance with Claim 110, wherein X is -C(O)-.

1 112. A method in accordance with Claim 110, wherein X is -CH₂-.

1 113. A method in accordance with Claim 110, wherein X is a bond.

1 114. A method in accordance with Claim 110, wherein R⁴ is substituted
2 or unsubstituted benzyl, wherein said substituents are selected from the group consisting
3 of halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl.

1 115. A method in accordance with Claim 110, wherein R¹⁴ is selected
2 from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl
3 and substituted thienyl, wherein the substituents are selected from the group consisting of
4 cyano, halogen, (C₁-C₈)alkoxy, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, CONH₂,
5 methylenedioxy and ethylenedioxy.

1 116. A method in accordance with Claim 110, wherein R¹ is selected
2 from the group consisting of methyl, ethyl and propyl, and R² is hydrogen.

1 117. A method in accordance with Claim 110, wherein R¹ and R² are
2 each methyl.

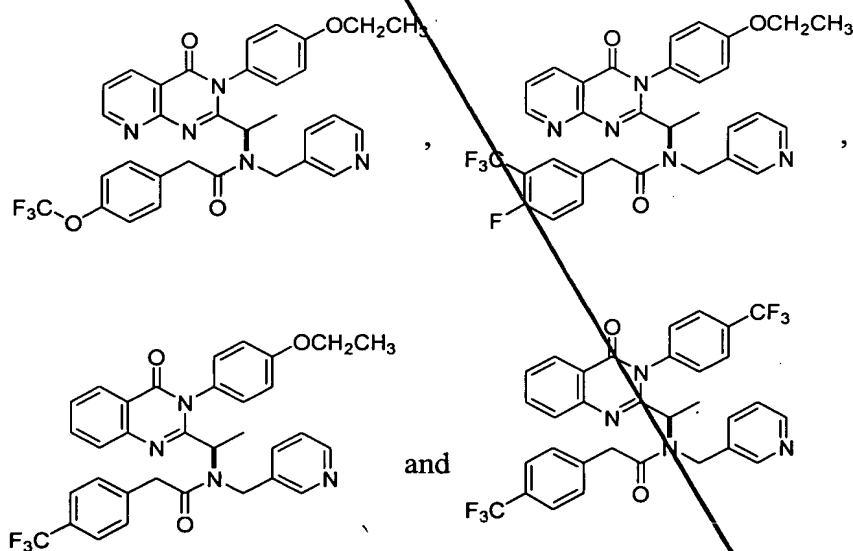
1 118. A method in accordance with Claim 110, wherein R³ is selected
2 from the group consisting of substituted or unsubstituted pyridyl and substituted or

3 unsubstituted imidazolyl.

1 119. A method in accordance with Claim 110, wherein L is (C₁-
2 C₄)alkylene.

1 120. A method in accordance with Claim 110, wherein X is -CO-; R¹
2 and R² are each independently selected from the group consisting of H, methyl and ethyl;
3 R¹⁴ is selected from the group consisting of substituted or unsubstituted phenyl; Q is -
4 CO-; L is methylene, ethylene or propylene, R³ is selected from the group consisting of
5 substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R⁴ is
6 substituted or unsubstituted benzyl, wherein said substituents are selected from the group
7 consisting of halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl; and
8 each R_a is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR',
9 -R', -CN, -NO₂, -CO₂R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R''',
10 perfluoro(C₁-C₄)alkoxy, and perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each
11 independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
12 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-C₄)alkyl, and
13 (unsubstituted aryl)oxy-(C₁-C₄)alkyl.

1 121. The method of Claim 110, wherein said compound is selected from
2 the group consisting of:



3

1 122. A method in accordance with Claim 97, wherein said CXCR3-
2 mediated condition is selected from the group consisting of neurodegenerative diseases,
3 multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis,
4 encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema,
5 uticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive
6 pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis,
7 Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections,
8 organ transplant conditions and skin transplant conditions.

1 123. The method of Claim 97, wherein said compound modulates
2 CXCR3.

1 124. A method in accordance with Claim 110, wherein said compound
2 is administered in combination with a second therapeutic agent, wherein said second
3 therapeutic agent is useful for treating neurodegenerative diseases, multiple sclerosis,
4 systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis,
5 meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, uticaria, type I
6 diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary
7 disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's
8 disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ
9 transplant conditions or skin transplant conditions.

1 125. A method in accordance with Claim 124, wherein said organ
2 transplant condition is a bone marrow transplant condition or a solid organ transplant
3 condition.

1 126. A method in accordance with Claim 125, wherein said solid organ
2 transplant condition is a kidney transplant condition, a liver transplant condition, a lung
3 transplant condition, a heart transplant condition or a pancreas transplant condition.

1 127. A method in accordance with Claim 97, wherein said CXCR3-
2 mediated condition is restenosis.

1 128. A method in accordance with Claim 97, wherein said CXCR3-
2 mediated condition is selected from the group consisting of multiple sclerosis, rheumatoid

3 arthritis and organ transplant conditions.

1 **129.** A method in accordance with Claim 110, wherein said compound
2 is used in conjunction with another therapeutic agent selected from the group consisting
3 of Remicade®, Enbrel®, a COX-2 inhibitor, a glucocorticoid, an immunosuppressant,
4 methotrexate, prednisolone, azathioprine, cyclophosphamide, tacrolimus, mycophenolate,
5 hydroxychloroquine, sulfasalazine, cyclosporine A, D-penicillamine, a gold compound,
6 an antilymphocyte or antithymocyte globulin, betaseron, avonex and copaxone.

1 **130.** A method in accordance with Claim 110, wherein said CXCR3-
2 mediated condition is an organ transplant condition and said compound is used alone or in
3 combination with a second therapeutic agent selected from the group consisting of
4 cyclosporine A, FK-506, rapamycin, mycophenolate, prednisolone, azathioprene,
5 cyclophosphamide and an antilymphocyte globulin.

1 **131.** A method in accordance with Claim 110, wherein said CXCR3-
2 mediated condition is rheumatoid arthritis and said compound is used alone or in
3 combination with a second therapeutic agent selected from the group consisting of
4 methotrexate, sulfasalazine, hydroxychloroquine, cyclosporine A, D-penicillamine,
5 Remicade®, Enbrel®, auranofin and aurothioglucose.

1 **132.** A method in accordance with Claim 110, wherein said CXCR3-
2 mediated condition is multiple sclerosis and said compound is used alone or in
3 combination with a second therapeutic agent selected from the group consisting of
4 betaseron, avonex, azathioprene, capoxone, prednisolone and cyclophosphamide.

1 **133.** The method of Claim 110, wherein said subject is a human.

1 **134.** A method for the modulation of CXCR3 function in a cell,
2 comprising contacting said cell with a compound of Claim 1.

1 **135.** A method for the modulation of CXCR3 function, comprising
2 contacting a CXCR3 protein with a compound of Claim 1.

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